

=> d bib abs hitstr 1

L17 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2000 ACS

AN 1999:451303 CAPLUS

DN 131:73842

TI Process for preparing carboxamido-4-azasteroids

IN Panzeri, Achille; D'Anello, Matteo; Longo, Antonio; Nesi, Marcella

PA Pharmacia & Upjohn Spa, Italy

SO PCT Int. Appl., 24 pp.

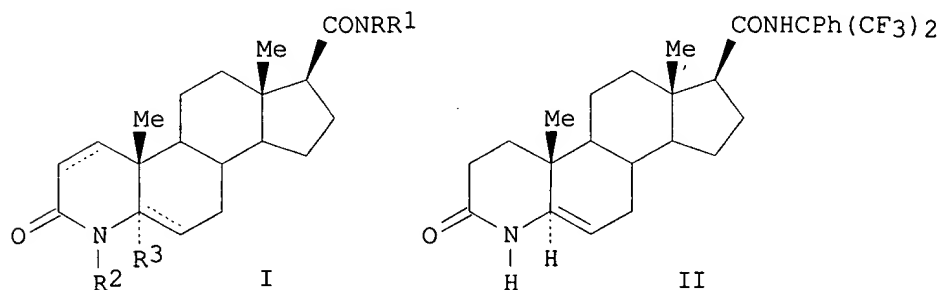
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9935161	A1	19990715	WO 1998-EP8527	19981217
	W:	AL, AU, BA, BG, BR, CA, CN, CZ, EE, HU, ID, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9925146	A1	19990726	AU 1999-25146	19981217
	EP 970105	A1	20000112	EP 1998-966861	19981217
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI			
	NO 9904199	A	19991029	NO 1999-4199	19990830
PRAI	GB 1997-27522		19971231		
	WO 1998-EP8527		19981217		
OS	CASREACT 131:73842; MARPAT 131:73842				
GI					



AB A process for producing azasteroids of formula I [R, R1 = H, (fluorine substituted) alkyl, (fluorine substituted) phenylalkyl, etc.; R2 = H, (fluorine substituted) alkyl; R3 = H, absent] comprises treating the corresponding 17.β-carbonylimidazole intermediates with anhyd. acids in the presence of an amine and, optionally, hydrogenating the resulting compd. Thus, 3-oxo-4-azaandrost-5-ene-17.β-carbonyl-1-imidazole was reacted with 1,1,1,3,3,3-hexafluoro-2-phenylprop-2-ylamine and methanesulfonic acid to give II.

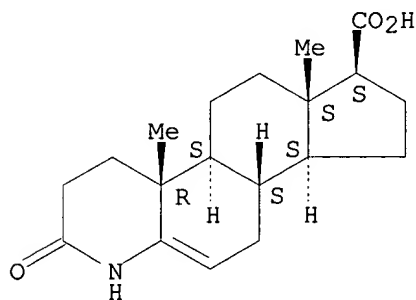
IT 103335-54-2 104239-97-6

Searched by John Dantzman

308-4488

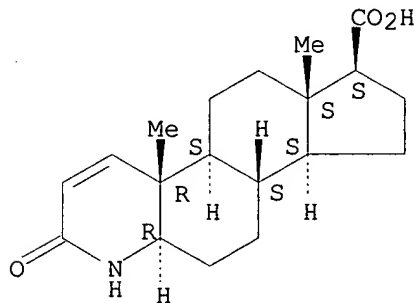
RL: RCT (Reactant)
 (prepn. of 17.beta.-carboxamido-4-azasteroids)
 RN 103335-54-2 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxylic acid,
 2,3,4,4a,4b,5,6,6a,7,8,9,9a,9
 b,10-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS)-
 (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



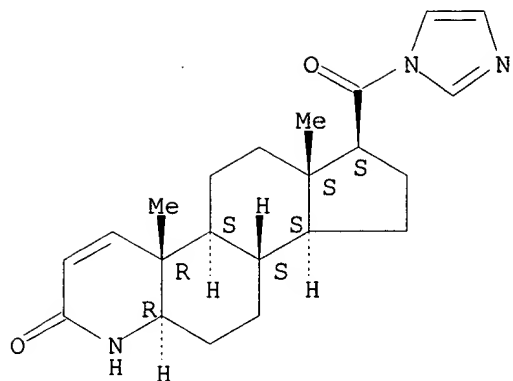
RN 104239-97-6 CAPLUS
 CN 1H-Indeno[5,4-f]quinoline-7-carboxylic acid,
 2,4a,4b,5,6,6a,7,8,9,9a,9b,10
 ,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
 (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 129273-17-2P 229183-12-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of 17.beta.-carboxamido-4-azasteroids)
 RN 129273-17-2 CAPLUS
 CN 1H-Imidazole, 1-[[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-
 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-
 1H-indeno[5,4-f]quinolin-7-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

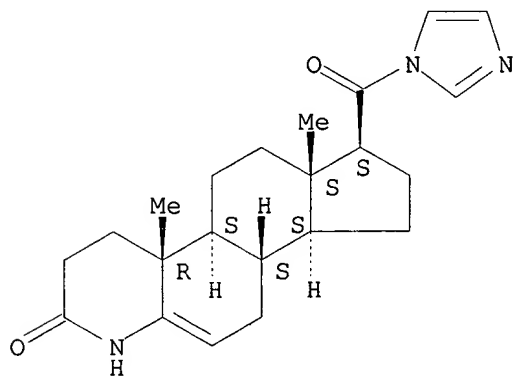


RN 229183-12-4 CAPLUS

CN 1H-Imidazole,

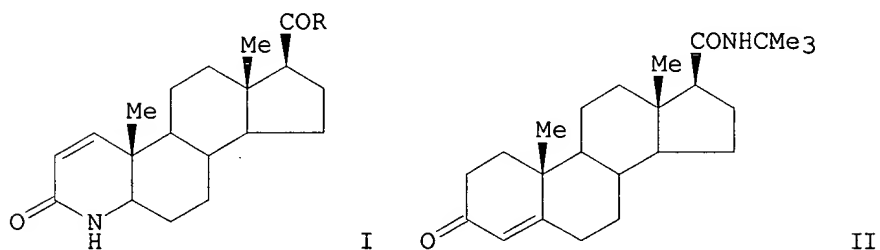
1-[(4aR,4bS,6aS,7S,9aS,9bS)-2,3,4,4a,4b,5,6,6a,7,8,9,9a,9b,
10-tetradecahydro-4a,6a-dimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-
yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d bib abs hitstr 2

L17 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2000 ACS
 AN 1991:164608 CAPLUS
 DN 114:164608
 TI Acylimidazolides as versatile synthetic intermediates for the preparation of sterically congested amides and ketones: a practical synthesis of Proscar
 AU Bhattacharya, A.; Williams, J. M.; Amato, J. S.; Dolling, U. H.; Grabowski, E. J. J.
 CS Process Res. Dep., Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA
 SO Synth. Commun. (1990), 20(17), 2683-90
 CODEN: SYNCAV; ISSN: 0039-7911
 DT Journal
 LA English
 OS CASREACT 114:164608
 GI

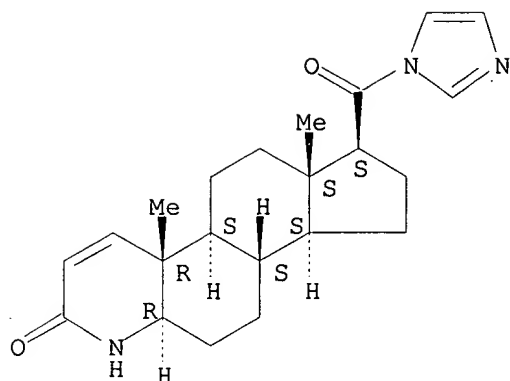


AB Acylimidazolides, e.g., I (R = 1-imidazolyl) react with magnesium amides to produce carboxamides in excellent yields, whereas Fe(III) catalyzed cross coupling between acylimidazolide and Grignard reagents produce ketones in high yields. These methods were utilized to prep. the .alpha.-reductase inhibitor Proscar I (R = NHCMe₃), as well as various 17.beta.-amides, e.g., I (R = NEt₂, NHR₁; R₁ = cyclohexyl, 2-adamantyl) and II, and ketone analogs I (R = sec-Bu, iso-Bu, iso-Pr, cyclohexyl) of .DELTA.1-4-aza-5.alpha.-androst-3-one.

IT 129273-17-2
 RL: RCT (Reactant)
 (condensation of, with Grignard reagents, amides and ketones from)

RN 129273-17-2 CAPLUS
 CN 1H-Imidazole, 1-[[[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 104239-97-6

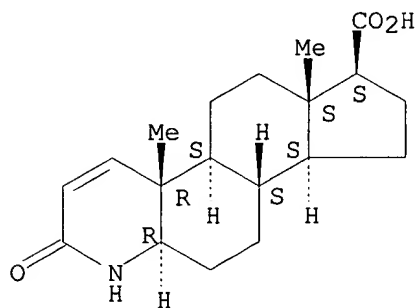
RL: RCT (Reactant)

(conversion to carboxamide, via acylimidazolide)

RN 104239-97-6 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxylic acid,
2,4a,4b,5,6,6a,7,8,9,9a,9b,10
,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

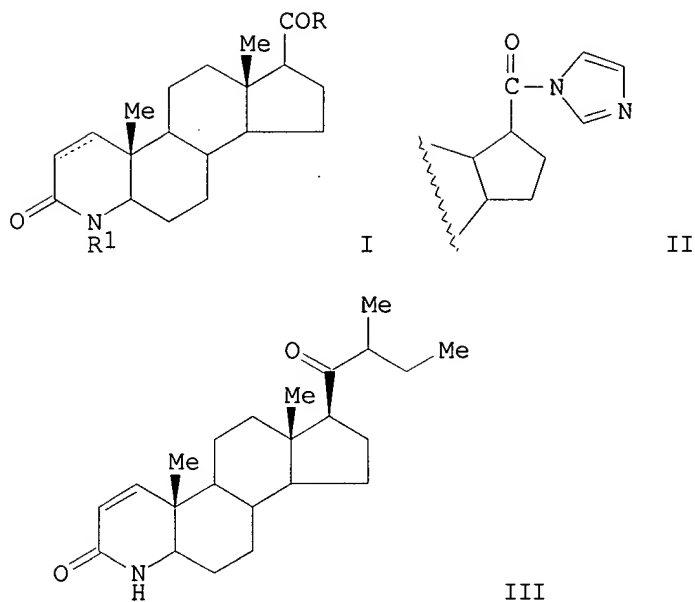
Absolute stereochemistry.



=> d bib abs hitstr 3

L17 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2000 ACS
 AN 1990:532584 CAPLUS
 DN 113:132584
 TI Preparation of 4-azo-chol-1-ene-3,20-dione derivatives as testosterone reductase inhibitors
 IN Bhattacharya, Apurba; Dolling, Ulf H.; Amato, Joseph S.; Williams, John M.
 PA Merck and Co., Inc., USA
 SO Eur. Pat. Appl., 13 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 367502	A1	19900509	EP 1989-311066	19891026
	EP 367502	B1	19950913		
	R: AT, BE, CH, DE, ES, FR, GB, IT, LI, LU, NL, SE				
	US 5237061	A	19930817	US 1988-264652	19881031
	CA 1326013	A1	19940111	CA 1989-615350	19890929
	JP 02172999	A2	19900704	JP 1989-275124	19891024
	JP 07014959	B4	19950222		
	ES 2078909	T3	19960101	ES 1989-311066	19891026
	DK 8905395	A	19900501	DK 1989-5395	19891030
	DK 170734	B1	19951227		
PRAI	US 1988-264652		19881031		
OS	MARPAT 113:132584				
GI					



AB The title compds. [I; R = (hydroxy-, carboxy-, or alkyl ester-substituted)C1-12 alkyl, cycloalkyl, Ph, OH, alkoxy, PhCH₂O, NH₂;
 R1 = H, Me, Et; dotted line = optional double bond], useful as testosterone 5.alpha.-reductase inhibitors (no data), were prepd. by treatment of imidazolidines II with Grignard reagents or with amines in the presence of Grignard reagents. Thus, 3-oxo-4-aza-5.alpha.-androst-1-ene 17.beta.-carboxylic acid in CH₂Cl₂ was treated with carbonyldiimidazole over 20 min and the mixt. was stirred an addnl. 20 min to give 91.5% of the corresponding carbonylimidazole. The latter, in THF at -40.degree., was treated with MeCH₂CHMeMgCl; Fe(acac)₃ in THF was then added at -15.degree. to give 58.3% azanorcholenedione III.

IT 104239-97-6

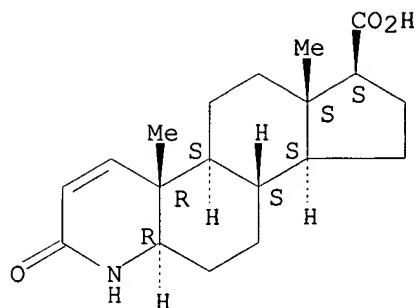
RL: PROC (Process)

(conversion of, to carbonylimidazole deriv.)

RN 104239-97-6 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxylic acid,
 2,4a,4b,5,6,6a,7,8,9,9a,9b,10
 ,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
 (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 129273-17-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and alkylation of)

RN 129273-17-2 CAPLUS

CN 1H-Imidazole, 1-[[(4aR,4bS,6aS,7S,9aS,9bS,11aR) -
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-
1H-indeno[5,4-f]quinolin-7-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

